

10/681,855
9/11/2007

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NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 MAY 01 New CAS web site launched
NEWS 3 MAY 08 CA/CAplus Indian patent publication number format defined
NEWS 4 MAY 14 RDISCLOSURE on STN Easy enhanced with new search and display fields
NEWS 5 MAY 21 BIOSIS reloaded and enhanced with archival data
NEWS 6 MAY 21 TOXCENTER enhanced with BIOSIS reload
NEWS 7 MAY 21 CA/CAplus enhanced with additional kind codes for German patents
NEWS 8 MAY 22 CA/CAplus enhanced with IPC reclassification in Japanese patents
NEWS 9 JUN 27 CA/CAplus enhanced with pre-1967 CAS Registry Numbers
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NEWS 11 JUN 29 STN Express, Version 8.2, now available
NEWS 12 JUL 02 LEMBASE coverage updated
NEWS 13 JUL 02 LMEDLINE coverage updated
NEWS 14 JUL 02 SCISEARCH enhanced with complete author names
NEWS 15 JUL 02 CHEMCATS accession numbers revised
NEWS 16 JUL 02 CA/CAplus enhanced with utility model patents from China
NEWS 17 JUL 16 CAplus enhanced with French and German abstracts
NEWS 18 JUL 18 CA/CAplus patent coverage enhanced
NEWS 19 JUL 26 USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS 20 JUL 30 USGENE now available on STN
NEWS 21 AUG 06 CAS REGISTRY enhanced with new experimental property tags
NEWS 22 AUG 06 BEILSTEIN updated with new compounds
NEWS 23 AUG 06 FSTA enhanced with new thesaurus edition
NEWS 24 AUG 13 CA/CAplus enhanced with additional kind codes for granted patents
NEWS 25 AUG 20 CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS 26 AUG 27 Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS 27 AUG 27 USPATOLD now available on STN
NEWS 28 AUG 28 CAS REGISTRY enhanced with additional experimental spectral property data
NEWS 29 SEP 07 STN AnaVist, Version 2.0, now available with Derwent World Patents Index

NEWS EXPRESS · 05 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 05 SEPTEMBER 2007.

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NEWS IPC8 For general information regarding STN implementation of IPC 8

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STRUCTURE FILE UPDATES: 10 SEP 2007 HIGHEST RN 946567-47-1
DICTIONARY FILE UPDATES: 10 SEP 2007 HIGHEST RN 946567-47-1

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TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

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<http://www.cas.org/support/stngen/stndoc/properties.html>

=> E "1,3,3-TRINITROAZETIDINE"/CN 25

| | | |
|-----|---|---|
| E1 | 1 | 1, 3, 3-TRIMETHYLTHIOUREA/CN |
| E2 | 1 | 1, 3, 3-TRIMETHYLTRIAZENE/CN |
| E3 | 1 | --> 1, 3, 3-TRINITROAZETIDINE/CN |
| E4 | 1 | 1, 3, 3-TRINITROBUTANE/CN |
| E5 | 1 | 1, 3, 3-TRIPHENYL-1-PROPANONE/CN |
| E6 | 1 | 1, 3, 3-TRIPHENYL-1-PROPENE/CN |
| E7 | 1 | 1, 3, 3-TRIPHENYL-2-AZETIDINONE/CN |
| E8 | 1 | 1, 3, 3-TRIPHENYL-2-INDANONE/CN |
| E9 | 1 | 1, 3, 3-TRIPHENYL-2-PROPANONE/CN |
| E10 | 1 | 1, 3, 3-TRIPHENYL-2-PROPEN-1-ONE/CN |
| E11 | 1 | 1, 3, 3-TRIPHENYL-2-PROPYNYL ACETATE/CN |
| E12 | 1 | 1, 3, 3-TRIPHENYL-3-CHLORO-1, 1-BIS (TRIMETHYLSILOXY) DISILOXANE/CN |
| E13 | 1 | 1, 3, 3-TRIPHENYL-3-IMIDAZOL-1-YLPROPYNE/CN |
| E14 | 1 | 1, 3, 3-TRIPHENYL-4-PENTYN-1-ONE/CN |
| E15 | 1 | 1, 3, 3-TRIPHENYLACETONE/CN |
| E16 | 1 | 1, 3, 3-TRIPHENYLALLENE/CN |
| E17 | 1 | 1, 3, 3-TRIPHENYL CYCLOPROPENE/CN |
| E18 | 1 | 1, 3, 3-TRIPHENYL ISOINDOLENINE/CN |
| E19 | 1 | 1, 3, 3-TRIPHENYL PROP-1-YNE/CN |
| E20 | 1 | 1, 3, 3-TRIPHENYL PROP-2-EN-1-ONE SEMICARBAZONE/CN |
| E21 | 1 | 1, 3, 3-TRIPHENYL PROPENE/CN |
| E22 | 1 | 1, 3, 3-TRIPHENYL PROPENYL P-TOLUENESULFONATE/CN |
| E23 | 1 | 1, 3, 3-TRIPHENYL PROPYNE/CN |
| E24 | 1 | 1, 3, 3-TRIS (2-CHLOROETHYL) UREA/CN |

E25 1 1,3,3-TRIS(2-PYRIDYL)-3H-IMIDAZO(1,5-A)PYRIDIN-4-IUM NITRATE/CN

=> S E3

L1 1 "1,3,3-TRINITROAZETIDINE"/CN

=> DIS L1 1 SQIDE

THE ESTIMATED COST FOR THIS REQUEST IS 6.55 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN

RN 97645-24-4 REGISTRY

CN Azetidine, 1,3,3-trinitro- (CA INDEX NAME)

OTHER NAMES:

CN 1,3,3-Trinitroazetidine

CN TNAZ

MF C3 H4 N4 O6

CI COM

SR CA

LC STN Files: ANABSTR, BEILSTEIN*, BIOSIS, CA, CAPLUS, CASREACT,
CHEMINFORMRX, MRCK*, PROMT, TOXCENTER, USPAT2, USPATFULL
(*File contains numerically searchable property data)

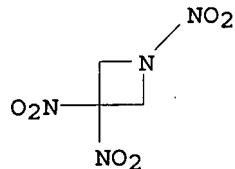
DT.CA CAplus document type: Conference; Dissertation; Journal; Patent; Report
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); PROC

(Process); PRP (Properties); USES (Uses)

RLD.P Roles for non-specific derivatives from patents: PRP (Properties); USES
(Uses)

RL.NP Roles from non-patents: ANST (Analytical study); OCCU (Occurrence);
PREP (Preparation); PROC (Process); PRP (Properties); RACT (Reactant or
reagent); USES (Uses)

RLD.NP Roles for non-specific derivatives from non-patents: ANST (Analytical
study)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

224 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

224 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file medline caplus wpids uspatfull

COST IN U.S. DOLLARS

| SINCE FILE
ENTRY | TOTAL
SESSION |
|---------------------|------------------|
| 7.80 | 8.01 |

FULL ESTIMATED COST

FILE 'MEDLINE' ENTERED AT 16:29:12 ON 11 SEP 2007

FILE 'CAPLUS' ENTERED AT 16:29:12 ON 11 SEP 2007

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FILE 'WPIDS' ENTERED AT 16:29:12 ON 11 SEP 2007

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FILE 'USPATFULL' ENTERED AT 16:29:12 ON 11 SEP 2007

=> s 11
L2 261 L1

=> s 12 and (?cancer? or ?tumor?)
L3 2 L2 AND (?CANCER? OR ?TUMOR?)

=> d 13 1-2 ibib, abs, hitstr

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:331931 CAPLUS
DOCUMENT NUMBER: 140:332536
TITLE: X-nitro compounds and pharmaceutical compositions for
 treatment of proliferative disorders
INVENTOR(S): Knox, Susan J.; Bednarski, Mark D.; Haaland, Andrew C.
PATENT ASSIGNEE(S): Radiorx, Inc., USA
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|------------|
| WO 2004032864 | A2 | 20040422 | WO 2003-US32022 | 20031007 |
| WO 2004032864 | A3 | 20040624 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2501625 | A1 | 20040422 | CA 2003-2501625 | 20031007 |
| AU 2003282534 | A1 | 20040504 | AU 2003-282534 | 20031007 |
| US 2004167212 | A1 | 20040826 | US 2003-681855 | 20031007 |
| EP 1556056 | A2 | 20050727 | EP 2003-774724 | 20031007 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| JP 2006505620 | T | 20060216 | JP 2005-501143 | 20031007 |
| TW 244583 | B | 20051201 | TW 2003-92127914 | 20031008 |
| MX 2005PA03718 | A | 20050930 | MX 2005-PA3718 | 20050407 |
| ZA 2005003112 | A | 20060830 | ZA 2005-3112 | 20050418 |
| PRIORITY APPLN. INFO.: | | | US 2002-416936P | P 20021007 |
| | | | US 2003-464782P | P 20030422 |
| | | | WO 2003-US32022 | W 20031007 |

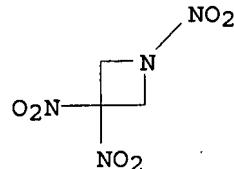
AB The present invention provides X-nitro compds., pharmaceutical compns. of X-nitro compds., and methods of using X-nitro compds. and their pharmaceutical compns. to treat or prevent diseases or disorders characterized by abnormal cell proliferation, such as cancer, inflammation, cardiovascular disease and autoimmune disease. The X-nitro compds. and their pharmaceutical compns. are used in combination with irradiation and/or another therapeutic agent, e.g. an anticancer agent. For example, human cell lines were irradiated using a ^{137}Cs source at a dose rate of 422 cGy/min with a range of radiation doses (e.g., 0, 200, 400, 600, 800, 1000, 1500 and 2000 cGy) with and without various X-nitro compds., at a final concentration of 1, 10, 50 and 100 mM in DMSO. The compds. contain high d. nitro groups for free radical formation upon initiation with radiation. Cell death (or survival) was plotted vs. concentration of compound and an LC50 was determined by measuring the concentration at which

50% of the cells die. The LC50 of, e.g., 2,4,6,8,10,12-hexanitro-2,4,6,8,10,12-hexaaazatetracyclo[5.5.0.05.9.03.11]dodecane, 1,3,5-trinitro-1,3,5-triazacyclohexane, 1,3,5,7-tetranitro-1,3,5,7-tetraazacyclooctane, 3-nitro-1,2,4-triazol-5-one and 1,3,3-trinitroazetidine ranged between about 5.0 mM and 20 mM.

IT 97645-24-4, 1,3,3-Trinitroazetidine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(X-nitro compds. in combination with radiotherapy for treatment of proliferative disorders)

RN 97645-24-4 CAPLUS

CN Azetidine, 1,3,3-trinitro- (CA INDEX NAME)



L3 ANSWER 2 OF 2 USPATFULL on STN
ACCESSION NUMBER: 2004:216103 USPATFULL
TITLE: X-nitro compounds, pharmaceutical compositions thereof and uses thereof
INVENTOR(S): Bednarski, Mark D., Los Altos, CA, UNITED STATES
Haaland, Andrew C., Park City, UT, UNITED STATES
Knox, Susan J., Stanford, CA, UNITED STATES

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2004167212 | A1 | 20040826 |
| APPLICATION INFO.: | US 2003-681855 | A1 | 20031007 (10) |

| | NUMBER | DATE |
|-----------------------|--|---------------|
| PRIORITY INFORMATION: | US 2002-416936P | 20021007 (60) |
| | US 2003-464782P | 20030422 (60) |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | COOLEY GODWARD, LLP, 3000 EL CAMINO REAL, 5 PALO ALTO SQUARE, PALO ALTO, CA, 94306 | |

NUMBER OF CLAIMS: 31
EXEMPLARY CLAIM: 1
LINE COUNT: 1040

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

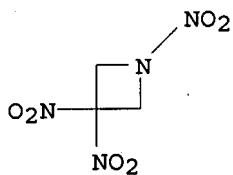
AB The present invention provides X-nitro compound; pharmaceutical compositions of X-nitro compounds and methods of using X-nitro compounds and/or pharmaceutical compositions thereof to treat or prevent diseases or disorders characterized by abnormal cell proliferation, such as cancer, inflammation, cardiovascular disease and autoimmune disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 97645-24-4, 1,3,3-Trinitroazetidine
(X-nitro compds. in combination with radiotherapy for treatment of proliferative disorders)

RN 97645-24-4 USPATFULL

CN Azetidine, 1,3,3-trinitro- (CA INDEX NAME)



=> s "x-nitro"

L4 244 "X-NITRO"

=> s l4 and (?cancer? or ?tumor?)

L5 44 L4 AND (?CANCER? OR ?TUMOR?)

=> s l5 and py<2002

1 FILES SEARCHED...

L6 17 L5 AND PY<2002

=> d l6 1-17 ibib, abs, hitstr

L6 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1989:614488 CAPLUS

DOCUMENT NUMBER: 111:214488

TITLE: Nitro-substituted aromatic or heteroaromatic compounds, especially imidazoles and triazoles, for use as radiosensitizers in cancer treatment, and their preparation and pharmaceutical compositions

INVENTOR(S): Adams, Gerald Edward; Fielden, Edward Martin; Jenkins, Terence Charles; Stratford, Ian James

PATENT ASSIGNEE(S): National Research Development Corp., UK

SOURCE: Eur. Pat. Appl., 19 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

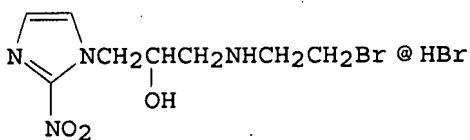
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| EP 319329 | A2 | 19890607 | EP 1988-311467 | 19881202 <- |
| EP 319329 | A3 | 19900307 | | |
| EP 319329 | B1 | 19951102 | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| AU 8826510 | A | 19890608 | AU 1988-26510 | 19881202 <- |
| AU 625314 | B2 | 19920709 | | |
| GB 2213150 | A | 19890809 | GB 1988-28196 | 19881202 <- |
| GB 2213150 | B | 19911002 | | |
| JP 01250360 | A | 19891005 | JP 1988-305865 | 19881202 <- |
| US 5098921 | A | 19920324 | US 1988-279091 | 19881202 <- |
| CA 1332738 | C | 19941025 | CA 1988-584851 | 19881202 <- |
| AT 129703 | T | 19951115 | AT 1988-311467 | 19881202 <- |
| ES 2080726 | T3 | 19960216 | ES 1988-311467 | 19881202 <- |
| US 5521203 | A | 19960528 | US 1994-352594 | 19941209 <- |
| PRIORITY APPLN. INFO.: | | | GB 1987-28418 | A 19871204 |
| | | | GB 1988-18348 | A 19880802 |
| | | | US 1988-279091 | A3 19881202 |
| | | | US 1992-817502 | B1 19920107 |
| | | | US 1992-966611 | B1 19921026 |
| | | | US 1993-135435 | B1 19931013 |
| | | | US 1994-225001 | B1 19940406 |

OTHER SOURCE(S): CASREACT 111:214488; MARPAT 111:214488

GI



AB Title compds. $XCH_2(CHOH)nCH_2NR_1CR_2R_3(CH_2)mCR_4R_5Z$ [$X =$ nitro-substituted (hetero)aromatic group with 1-electron reduction potential of -250 to -500 mV at pH 7; $R_1-R_5 = H$, (hydroxy)alkyl, aryl, aralkyl, alkaryl; $m = 0, 1$; $n = 1, 2$; $Z =$ leaving group subject to expulsion by intramol. cyclization] are prepared as radiosensitizers for cancer treatment. Thus, treatment of 1-(2-nitro-1-imidazolyl)-3-(1-aziridino)-2-propanol with anhydrous HBr in Me₂CO (exothermic) under ice cooling gave 96% (nitroimidazolyl)(bromoethylamino)propanol hydrobromide I. At 200 mg/kg i.p. in mice with KHT tumor implanted s.c., I enhanced the effect of 10 Gy X-rays with a maximum enhancement ratio of 2.7, superior to misonidazole (1.5), etanidazole (1.6), and pimonidazole (1.0).

L6 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1952:57252 CAPLUS
 DOCUMENT NUMBER: 46:57252
 ORIGINAL REFERENCE NO.: 46:9567c-i,9568a-c
 TITLE: New cytotoxic agents with tumor-inhibitory activity. I. Some aziridinopyrimidine derivatives
 AUTHOR(S): Hendry, J. A.; Homer, R. F.
 CORPORATE SOURCE: Imperial Chem. Inds. Ltd., Manchester, UK
 SOURCE: Journal of the Chemical Society (1952)
 328-33
 CODEN: JCSCA9; ISSN: 0368-1769
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable
 OTHER SOURCE(S): CASREACT 46:57252
 AB (CH₂)₂NH (4.7 g.) in 50 cc. H₂O, added to 20 g. 2,4,6-trichloropyrimidine (I) in 125 cc. H₂O containing 6.3 g. Na₂CO₃ at 30-5°, stirred 0.5 h., and the product fractionated from petr. ether (b. 60-80°), gives 5.8 g. 2-(1-aziridyl)-4,6-dichloropyrimidine, m. 105°, and 1.25 g. 6-(1-aziridyl)-2,4-dichloropyrimidine, m. 111°. I (20 g.), slowly added to 12.4 g. (CH₂)₂NH and 29 g. Et₃N in 100 cc. C₆H₆ at 25-30° and stirred 1.5 h., gives 44% 2,6-di(1-aziridyl)-4-chloropyrimidine (II), m. 94-5°; occasionally the sirupy residue from the petr. ether extract polymerized violently after decantation of the solvent, possibly because of local overheating during the extraction II (1.96 g.) and 0.86 g. (CH₂)₂NH in 25 cc. C₆H₆ and 2 g. Et₃N, refluxed 3 h., give 1.1 g. glassy polymer and 47.5% unchanged II. II (8.8 g.), added to 1.2 g. Na in 80 cc. MeOH and kept 1 h. at 50° gives 3.3 g. 2,6-di(1-aziridyl)-4-methoxypyrimidine, b_{0.2} 110-20°, m. 86°; 4-EtO homolog, b_{0.1} 107°; 4-iso-PrO homolog, b_{0.05} 114°. 5-Phenylbarbituric acid (35 g.) and 35 cc. PhNMe₂. in 100 cc. POC₁₃, refluxed 1 h., give 23.8 g. 2,4,6-trichloro-5-phenylpyrimidine (III), m. 160°. III (14.6 g.), added to 10 g. (CH₂)₂NH and 25 g. Et₃N in 200 cc. C₆H₆ at 30-40° and stirred 1 h. at 35-40°, gives 4 g. 2,6-di(1-aziridyl)-4-chloro-5-phenylpyrimidine, m. 116-18°. PhC(:NH)NH₂.HCl (65.2 g.) and 67 g. CH₂(CO₂Et)₂, added to 25.7 g. Na in 400 cc. EtOH and refluxed 3 h., give 66% 4,6-dihydroxy-2-phenylpyrimidine (IV), m. 326° (decomposition); 2-(2-naphthyl) analog (V), m. 316-18° (decomposition) 98%; 2-(p-ethoxyphenyl) analog (VI), m. 289-91° (decomposition), 86%; 2-p-tolyl analog (VII), m. 310° (decomposition), 91%. IV (34 g.), added to 170 cc. HNO₃ (d. 1.5) at 10-20° and stirred 15 min. at 20°, gives 68% of the 5-NO₂ derivative (VIII). 2-(p-Chlorophenyl)-4,6-dihydroxy-5-nitropyrimidine, pale yellow, m. 300° (decomposition), 49%. 4,6-Dihydroxy-2-(4-methoxy-3-

nitrophenyl)-5-nitropyrimidine (IX), orange-yellow, m. 246-8° (decomposition), 42%; VI gives the 2-(4-ethoxy-3-nitrophenyl) analog, m. 268-70° (decomposition). V (28 g.), added to 560 cc. HNO₃ (d. 1.4) at 20° and stirred 20 min. (temperature rise to 30-5°), gives 16.8 g. of the 5-NO₂ derivative, yellow, m. 328° (decomposition). VII gives 76% of an impure 4,6-dihydroxy-2-(x-nitro-p-tolyl)-5-nitropyrimidine, m. 298° (decomposition). 4,6-Dichloro-2-(p-ethoxyphenyl)pyrimidine (3.5 g.) and 50 cc. HNO₃, stirred 15 min. at 20° and extracted with petr. ether (b. 100-20°), give 0.75 g. of the 2-(4-ethoxy-3-nitrophenyl) analog, m. 118°. The appropriate 2-oxyl-4,6-dihydroxypyrimidine (1 part), 1 part PhNET₂, and 5 parts POCl₃, refluxed 1 h., give the 2-aryl-4,6-dichloropyrimidines: Ph (X), m. 96°, 77%; p-methoxyphenyl, m. 123-4°, 67%; p-ethoxyphenyl, m. 98° 80%; 2-naphthyl, m. 186°, 58%. 2-Aryl-5-nitro-4,6-dichloropyrimidines: Ph, m. 168-9°, 59%; p-chlorophenyl, m. 134-5°, 40%; x-nitro-4-methylphenyl, m. 163° 61%; 2-naphthyl, m. 218-19°, 63%; 3-nitro-4-methoxyphenyl, m. 188-9°, 53.5%; 3-nitro-4-ethoxyphenyl, m. 153-4°, 53%. The chloropyrimidines (1 mol.) in C₆H₆ or as a finely ground solid, added to 2.1 mols. (CH₂)₂NH and 2.2 mols. Et₃N at 35-45°, stirred 1 h. (heated if necessary), and the filtrate evaporated at 40° under reduced pressure, give the following:
 2-amino-4-(1-aziridyl)-5-nitro-6-mothylpyrimidine, m. 156° (decomposition), 45%; 2-(1-aziridyl)-4-methyl-5-nitro-6-aminopyrimidine, m. 150° (decomposition), 45%; 5-nitro-4,6-di(1-aziridyl)pyrimidine, m. 130° (decomposition), 69%; 5-nitro-2,4-di(1-aziridyl)pyrimidine, m. 160° (decomposition), 46%; 2-methyl-5-nitro-4,6-di(1-aziridyl)pyrimidine, m. 130° (decomposition), 62%; 2-phenyl-4-chloro-6-(1-aziridyl)pyrimidine, m. 66-7°, 56%; 2-(p-chloroanilino) analog, m. 169-70°, 46%; 2-(p-methoxyphenyl) analog, m. 132-4°, 61%; 2-(p-ethoxyphenyl) analog, m. 103-4°, 66%; 2-(2-naphthyl) analog, m. 112-14°, 84%; 2-(p-chlorophenyl)-5-nitro-4,6-di(1-aziridyl)pyrimidine, m. 160° (decomposition), 44.5%; 2-Ph analog (XI), m. 160° (decomposition), 42%; 2-(x-nitro-4-methylphenyl) analog, m. 160° (decomposition), 71%; 2-(4-methoxy-3-nitrophenyl) analog, m. 190° (decomposition), 78%; 2-(4-ethoxy-3-nitrophenyl) analog, m. 160° (decomposition), 57%; 2-(2-naphthyl) analog, m. 170° (decomposition), 41%. (CH₂)₂NLi (preparation given) and 4.5 g. X in ether, refluxed 1 h., give 38% 4,6-diaziridino-2-phenylpyrimidine, m. 111-12°; 2-naphthyl analog, m. 156-8°, 41%. XI (3 g.) in 40 cc. C₆H₆ and 40 cc. MeOH, shaken over Raney Ni, gives 0.8 g. 5-amino-4,6-diaziridino-2-phenylpyrimidine, pale yellow, m. 147-8°. IX (5 g.) and 1.3 g. NaOH in 100 cc. hot H₂O, treated (0.5 h.) at 80° with 120 cc. 10% aqueous KMnO₄ and kept 45 min. at 80°, give 0.92 g. 4,3-MeO(O₂N)C₆HH₃CO₂H. VIII gives BzOH. Many of the compds. carrying 2(CH₂)₂N residues inhibit the growth of the Walker carcinoma 256 to a marked extent and show cytotoxic properties of the radiomimetic type (cf. C.A. 46, 185h).

L6 ANSWER 3 OF 17 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN
 ACCESSION NUMBER: 2000-524155 [47] WPIDS
 CROSS REFERENCE: 2002-098520; 2002-205600
 DOC. NO. CPI: C2000-155615 [47]
 TITLE: New perfluoro-substituted aniline derivatives, used to treat hyper-androgenic skin syndrome e.g. alopecia, hirsutism and acne vulgaris and cancer e.g. prostate cancer, are androgen suppressors
 DERWENT CLASS: B03; B05; K08
 INVENTOR: BROWN J W; CAMPION B; DOUGLAS J G; DOUGLASS J G; SELIGSON A L; SOVAK M; BROWN J; DOUGLAS J; SELIGSON A
 PATENT ASSIGNEE: (BIOP-N) BIOPHYSICA INC
 COUNTRY COUNT: 29
 PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|---------------|------|--------------------|------|--------|----|----------|
| WO 2000037430 | A2 | 20000629 (200047)* | EN | 33 [0] | | <-- |
| AU 2000016215 | A | 20000712 (200048) | EN | | | <-- |
| US 6184249 | B1 | 20010206 (200109) | EN | | | <-- |
| EP 1144366 | A2 | 20011017 (200169) | EN | | | <-- |
| CZ 2001002141 | A3 | 20020213 (200221) | CS | | | <-- |
| IL 143709 | A | 20070603 (200741) | EN | | | <-- |
| EP 1144366 | B1 | 20070627 (200742) | EN | | | <-- |
| DE 69936397 | E | 20070809 (200757) | DE | | | <-- |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---------------|------|-----------------|----------|
| WO 2000037430 | A2 | WO 1999-US26862 | 19991112 |
| US 6184249 | B1 | US 1998-215351 | 19981218 |
| EP 1144366 | A2 | EP 1999-958948 | 19991112 |
| EP 1144366 | B1 | EP 1999-958948 | 19991112 |
| IL 143709 | A | IL 1999-143709 | 19991112 |
| EP 1144366 | A2 | WO 1999-US26862 | 19991112 |
| CZ 2001002141 | A3 | WO 1999-US26862 | 19991112 |
| EP 1144366 | B1 | WO 1999-US26862 | 19991112 |
| AU 2000016215 | A | AU 2000-16215 | 19991112 |
| CZ 2001002141 | A3 | CZ 2001-2141 | 19991112 |
| DE 69936397 | E | DE 1999-636397 | 19991112 |
| DE 69936397 | E | EP 1999-958948 | 19991112 |
| DE 69936397 | E | WO 1999-US26862 | 19991112 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|---------------|------|--------------------------|
| AU 2000016215 | A | Based on WO 2000037430 A |
| EP 1144366 | A2 | Based on WO 2000037430 A |
| CZ 2001002141 | A3 | Based on WO 2000037430 A |
| IL 143709 | A | Based on WO 2000037430 A |
| EP 1144366 | B1 | Based on WO 2000037430 A |
| DE 69936397 | E | Based on EP 1144366 A |
| DE 69936397 | E | Based on WO 2000037430 A |

PRIORITY APPLN. INFO: US 1998-215351 19981218

AN 2000-524155 [47] WPIDS

CR 2002-098520; 2002-205600

AB WO 2000037430 A2 UPAB: 20050831

NOVELTY - Perfluoro-substituted aniline derivatives (I) are new.

DETAILED DESCRIPTION - Perfluoro-substituted aniline derivatives of formula (I) and their radiolabeled derivatives are new.

Q = chalcogen (O or S) (Q is defined only in the disclosure);

X = nitro, cyano or halogen;

V' = trifluoromethyl, halogen or H;

W' = OH when T' is H; and

W' = CH₃ when T' and T₁ form a C=Z' bridge ;

U' = N when T' and T₁ form a C=Z' bridge; or

U'+T₁ = bond, O, S or N;

n = 1 or 2;

d = 0 or 1. (provided that when d is 0, T' and T₁ are H and when n is 1 or when d is 0, Y' is a bond or linking group of 1-10 C atoms and from 0-6, with from 0-4 heteroatoms in the chain selected from O, S and N (sic); and

Z' = 1-6C aliphatic group (optionally saturated or unsaturated), 2-8C polyfluoroacylamido (usually containing 2-6 (preferably 3-5) C atoms

and having 2-(2m-1) F atoms where m is the number of C atoms) or haloanilino.

Definitions for T' and T1 are not explicitly given in the claims.

ACTIVITY - Dermatological; depilatory; antiseborrheic; cytostatic.

4-Nitro-3-trifluoromethyl-N-(2'-hydroxy-2'-methyl-3'-N-heptafluorobutyramido)propionyl)aniline showed an EC50 of 5.6 x 10-6 μM for eliminating viability of human prostate cancer cells. This compares with 7.0 x 10-5 μM and 5.0 x 10-5 μM for bicalutamide and hydroxyflutamide respectively

MECHANISM OF ACTION - Androgen receptor suppressor.

USE - (I) are used to treat hyper-androgenic skin syndromes (including alopecia, hirsutism and acne vulgaris or cancer (including prostate cancer) (claimed). Radiolabeled (I) can additionally be used for diagnostic purposes.

ADVANTAGE - (I) block androgenic receptors and block their number. (I) have low or no systemic resorption and they degrade or are metabolized into components of low or no toxicity. They also have little or no anti-androgenic activity. Radiolabeled (I) specific for neoplastic prostate cells improve diagnosis and therapy.

Member (0003)

ABEQ US 6184249 B1 UPAB 20050831

NOVELTY - Perfluoro-substituted aniline derivatives (I) are new.

DETAILED DESCRIPTION - Perfluoro-substituted aniline derivatives of formula (I) and their radiolabeled derivatives are new.

Q = chalcogen (O or S) (Q is defined only in the disclosure);

X = nitro, cyano or halogen;

V' = trifluoromethyl, halogen or H;

W' = OH when T' is H; and

W' = CH3 when T' and T1 form a C=Z' bridge;

U' = N when T' and T1 form a C=Z' bridge; or

U'+T1 = bond, O, S or N;

n = 1 or 2;

d = 0 or 1 (provided that when d is 0, T' and T1 are H and when n is 1 or when d is 0, Y' is a bond or linking group of 1-10 C atoms and from 0-6, with from 0-4 heteroatoms in the chain selected from O, S and N (sic); and

Z' = 1-6C aliphatic group (optionally saturated or unsaturated), 2-8C polyfluoroacylamido (usually containing 2-6 (preferably 3-5) C atoms and having 2-(2m-1) F atoms where m is the number of C atoms) or haloanilino.

Definitions for T' and T1 are not explicitly given in the claims.

ACTIVITY - Dermatological; depilatory; antiseborrheic; cytostatic.

4-Nitro-3-trifluoromethyl-N-(2'-hydroxy-2'-methyl-3'-N-heptafluorobutyramido)propionyl)aniline showed an EC50 of 5.6 x 10-6 μM for eliminating viability of human prostate cancer cells. This compares with 7.0 x 10-5 μM and 5.0 x 10-5 μM for bicalutamide and hydroxyflutamide respectively

MECHANISM OF ACTION - Androgen receptor suppressor.

USE - (I) are used to treat hyper-androgenic skin syndromes (including alopecia, hirsutism and acne vulgaris or cancer (including prostate cancer) (claimed). Radiolabeled (I) can additionally be used for diagnostic purposes.

ADVANTAGE - (I) block androgenic receptors and block their number. (I) have low or no systemic resorption and they degrade or are metabolized into components of low or no toxicity. They also have little or no anti-androgenic activity. Radiolabeled (I) specific for neoplastic prostate cells improve diagnosis and therapy.

L6 ANSWER 4 OF 17 WPIDS COPYRIGHT 2007 THE THOMSON CORP on STN
ACCESSION NUMBER: 1998-168882 [15] WPIDS
CROSS REFERENCE: 1998-212751; 1998-401675
DOC. NO. CPI: C1998-054059 [15]
TITLE: Inhibitors of amino-peptidase N-enzyme and neovascularisation - containing aryl- or

cycloalkyl-isoquinolinone, or new or known isoindolinone compounds, or their thione analogues

DERWENT CLASS: B02
INVENTOR: HASHIMOTO Y
PATENT ASSIGNEE: (HASH-I) HASHIMOTO Y; (ISHH-C) ISHIHARA SANGYO KAISHA LTD
COUNTRY COUNT: 19

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|-------------|------|--------------------|----------|----|----|----------|
| WO 9807421 | A1 | 19980226 (199815)* | JA 98[0] | | | <-- |
| JP 10059938 | A | 19980303 (199819) | JA 11[0] | | | <-- |
| JP 10109975 | A | 19980428 (199827) | JA 43 | | | <-- |
| JP 10072346 | A | 19980317 (199834) | JA 7[0] | | | <-- |
| JP 10081666 | A | 19980331 (199835) | JA 15[0] | | | <-- |
| US 6429212 | B1 | 20020806 (200254) | EN | | | |
| US 6515129 | B1 | 20030204 (200313) | EN | | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|----------------------|------|----------------|----------|
| WO 9807421 A1 | | WO 1997-JP2832 | 19970814 |
| JP 10059938 A | | JP 1997-171122 | 19970611 |
| JP 10081666 A | | JP 1997-171123 | 19970611 |
| JP 10072346 A | | JP 1997-171124 | 19970611 |
| JP 10109975 A | | JP 1997-231856 | 19970814 |
| US 6429212 B1 | | WO 1997-JP2832 | 19970814 |
| US 6515129 B1 Div Ex | | WO 1997-JP2832 | 19970814 |
| US 6429212 B1 | | US 1999-147687 | 19990216 |
| US 6515129 B1 Div Ex | | US 1999-147687 | 19990216 |
| US 6515129 B1 | | US 2002-133334 | 20020429 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|---------------|----------|--------------|
| US 6515129 B1 | Div ex | US 6429212 B |
| US 6429212 B1 | Based on | WO 9807421 A |

PRIORITY APPLN. INFO: JP 1997-171122 19970611
JP 1996-234672 19960816
JP 1997-171123 19970611
JP 1997-171124 19970611
JP 1996-174108 19960612
JP 1996-174109 19960612
JP 1996-184129 19960624
JP 1996-184130 19960624

AN 1998-168882 [15] WPIDS

CR 1998-212751; 1998-401675

AB WO 1998007421 A1 UPAB: 20050521

Inhibitors of aminopeptidase N enzyme and neovascularisation inhibitors are claimed, comprising compounds of formula (I) or their salts, and a support. Q1 = bond, CH₂, O, S or NH; Q2, Q3 = CO, CS or CH₂; provided at least one is not CH₂; Z = bond or lower alkanediyl; R = aryl or cycloalkyl (both optionally substituted); X = NO₂, optionally acylated amino, CN, CF₃, OH, halo, alkyl, alkoxy or alkylthio; m = 0-4. Compounds of formula (Ia) and their salts are new. Z' = alkyl; R' = cyclohexyl, phenyl or naphthyl (all optionally substituted); Y = O or S. USE - (Ia) is used in pharmaceuticals, especially to suppress

production of tumour necrosis factor, useful in treating immune disorders including rheumatism and rheumatoid arthritis; post-haemorrhagic shock, multiple sclerosis, Bechet's disease, adult respiratory distress syndrome, inflammatory bowel disease, multi-organ failure, malaria, anaemia associated with cancer or infections and diabetes. (I) are neovascularisation inhibitors, used to treat benign tumours, malignant tumours and metastases, chronic arthritis, psoriasis, neovascularisation following corneal transplant, hypertrophic cicatrification, atheromatous arteriosclerosis or oedematous sclerosis.

Member(0003)

ABEQ JP 10109975 A UPAB 20050521

Inhibitors of aminopeptidase N enzyme and neovascularisation inhibitors are claimed, comprising compounds of formula (I) or their salts, and a support. Q1 = bond, CH₂, O, S or NH; Q2, Q3 = CO, CS or CH₂; provided at least one is not CH₂; Z = bond or lower alkanediyl; R = aryl or cycloalkyl (both optionally substituted); X = NO₂, optionally acylated amino, CN, CF₃, OH, halo, alkyl, alkoxy or alkylthio; m = 0-4. Compounds of formula (Ia) and their salts are new. Z' = alkyl; R' = cyclohexyl, phenyl or naphthyl (all optionally substituted); Y = O or S.

USE - (Ia) is used in pharmaceuticals, especially to suppress production of tumour necrosis factor, useful in treating immune disorders including rheumatism and rheumatoid arthritis; post-haemorrhagic shock, multiple sclerosis, Bechet's disease, adult respiratory distress syndrome, inflammatory bowel disease, multi-organ failure, malaria, anaemia associated with cancer or infections and diabetes. (I) are neovascularisation inhibitors, used to treat benign tumours, malignant tumours and metastases, chronic arthritis, psoriasis, neovascularisation following corneal transplant, hypertrophic cicatrification, atheromatous arteriosclerosis or oedematous sclerosis.

Member(0004)

ABEQ JP 10072346 A UPAB 20050521

A tumour necrosis factor (TNF- α) prodn. or angiogenesis inhibitor contg. N-phenylphthalimide derivs. of N-phenylphthalimide (cpd. 1), N-phenylthiophthalimide, N-(2,6-diisopropylphenyl)phthalimide (cpd. 2), N-(2,6-diisopropylphenyl)-4,5,6,7-tetrafluorophthalimide (cpd. 3), N-(2,6-diisopropylphenyl)-4-nitrophthalimide (cpd. 4) and/or N-(2,6-diisopropylphenyl)-5-nitrophthalimide (cpd. 5).

USE - Prevention and treatment of unfavourable action of TNF- α (e.g. cancer metastasis, accelerated angiogenesis, inflammatory diseases and diabetic retinitis).

DATA NOT AVAILABLE FOR THIS ACCESSION NUMBER

| | | | |
|-------------------|----------------|---|-------------------------|
| L6 | ANSWER 5 OF 17 | WPIDS COPYRIGHT 2007 | THE THOMSON CORP on STN |
| ACCESSION NUMBER: | | 1988-105495 [15] | WPIDS |
| DOC. NO. CPI: | | C1988-047391 [21] | |
| TITLE: | | New DC-52 derivs. subst. on the aromatic ring - are oncostatic agents and are prepared by derivatisation of DX-52-1 | |
| DERWENT CLASS: | | B02 | |
| INVENTOR: | | ASHIZAWA T; HIRATA T; MORIMOTO M; SAITO H; SATO A; UOSAKI Y | |
| PATENT ASSIGNEE: | | (KYOW-C) KYOWA HAKKO KOGYO KK; (SAIT-I) SAITO H | |
| COUNTRY COUNT: | | 13 | |

PATENT INFO ABBR.:

| PATENT NO | KIND DATE | WEEK | LA | PG | MAIN IPC | |
|-------------|----------------------|------|-------|----|----------|-----|
| WO 8802369 | A 19880407 (198815)* | JA | 38[0] | | <-- | <-- |
| JP 63088183 | A 19880419 (198831) | JA | | | <-- | <-- |
| EP 283521 | A 19880928 (198839) | EN | | | <-- | <-- |

US 4879386 A 19891107 (199003) EN 11 <--

US 4946957 A 19900807 (199034) EN <--

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---------------|------|----------------|----------|
| WO 8802369 A | | WO 1987-JP708 | 19870928 |
| JP 63088183 A | | JP 1986-233801 | 19861001 |
| EP 283521 A | | EP 1987-906220 | 19870928 |
| US 4879386 A | | US 1988-207639 | 19880525 |
| US 4946957 A | | US 1989-400883 | 19890830 |

PRIORITY APPLN. INFO: JP 1986-233801 19861001

AN 1988-105495 [15] WPIDS

AB WO 1988002369 A UPAB: 20050428

05-52 derivs. of formula (I) and their pharmaceutically acceptable salts are new, where X is Cl, Br, I, OH, formyl, cyano, nitro, -CH=NOH, amino or (lower alkanoyl)amino; Y is OH and Z is CN, or Y and Z together are -O-. Halogenation of the known derivative DX-52-1 (I, X=H, Y=OH, Z=CN) gives (I, X=halogen); Reaction of DX-52-1 with a Lewis acid/ methoxy dichloromethane gives (I, X=CHO). (I X=CHO) may be converted to the oxime and thence to X=CN by standard methods; Baeyer-Villiger on (I, X=CHO) gives (I, X=OH). Nitration and reduction of DX-52-1 gives (I, X=nitro and amino); (I, X=amino) may be acylated. (I, Y=OH, Z=CN) may be converted to (I, Y+Z=O) by hydrolysis/decarboxylation or using a silver salt.

USE - As oncostatic agents for treatment of cancer, e.g. of the breast, stomach, womb, bowel, lung and for leukemia.

Member(0004)

ABEQ US 4879386 A UPAB 20050428

Derivs. of antibiotic DC-52 of formula (I) and salts, are new. In (I), X is Cl, Br, I, OH, formyl, OHNHMe, CN, NO₂, NH₂, 1-4C alkanoylamino; Y is OH; Z is CN. (I) may be opt. e.g.

by halogenation of DX-52-1 of formula (I-1). X₁ is Cl, Br, I.

USE - More potent antibacterial and anti-tumour agent than DC-52. Dose e.g. 0.003-1 mg/kg/day. - (11pp)

Member(0005)

ABEQ US 4946957 A UPAB 20050428

DC-52 derivs. of formula (I) and their pharmacologically acceptable salts are new. In (I) X is Cl, Br, I, OH, formyl, hydroxyiminomethyl, CN, NO₂, NH₂ or lower alkanoylamino.

Y and Z represent -O- in the form of -Y-Z-. (I) may be prep'd. by reacting DC-52-1 (I; X = H, Y = OH, Z =CN) with a halogenating agent in an inert solvent.

USE - As antitumour agents. - (11pp)

DATA NOT AVAILABLE FOR THIS ACCESSION NUMBER

L6 ANSWER 6 OF 17 USPATFULL on STN

ACCESSION NUMBER: 2005:140380 USPATFULL

TITLE: Amino acid derivatives and drugs containing the same as the active ingredient

INVENTOR(S): Seko, Takuuya, Osaka, JAPAN

Kato, Masashi, Osaka, JAPAN

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Osaka, JAPAN (non-U.S. corporation)

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|--------------|
| PATENT INFORMATION: | US 6903119 | B1 | 20050607 |
| | WO 2000004005 | | 20000127 <-- |
| APPLICATION INFO.: | US 2001-743393 | | 19990713 (9) |

WO 1999-JP3776

19990713

20010110 PCT 371 date

NUMBER DATE

PRIORITY INFORMATION: JP 1998-213452 19980714
 DOCUMENT TYPE: Utility
 FILE SEGMENT: GRANTED
 PRIMARY EXAMINER: Huang, Evelyn Mei
 LEGAL REPRESENTATIVE: Sughrue Mion, PLLC
 NUMBER OF CLAIMS: 8
 EXEMPLARY CLAIM: 1
 NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
 LINE COUNT: 3185

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to the compounds of the formula (I) and salts thereof (all the symbols are the same meanings as described in the specification). ##STR1##

The compounds of the formula (I) possess inhibitory activity of N-type calcium channel, so they are useful as drug for prevention and/or treatment of cerebral infarct, transient ischemic attack, encephalomyopathy after cardiac operation, spinal angiopathy, hypertension with stress, neurosis, epilepsy, asthma and pollakiuria etc. or agent for the treatment of pain.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 7 OF 17 USPATFULL on STN
 ACCESSION NUMBER: 2003:246920 USPATFULL
 TITLE: Aromatic heterocycle compounds having HIV integrase inhibiting activities
 INVENTOR(S): Fujishita, Toshio, Osaka, JAPAN
 Yoshinaga, Tomokazu, Settsu, JAPAN
 Sato, Akihiko, Settsu, JAPAN
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Osaka, JAPAN (non-U.S. corporation)

NUMBER KIND DATE

| | | | | |
|---------------------|----------------|----|--------------|-----|
| PATENT INFORMATION: | US 6620841 | B1 | 20030916 | |
| | WO 2000039086 | | 20000706 | <-- |
| APPLICATION INFO.: | US 2001-857632 | | 20010607 (9) | |
| | WO 1999-JP7101 | | 19991217 | |

NUMBER DATE

| | | |
|-----------------------|--|----------|
| PRIORITY INFORMATION: | JP 1998-371270 | 19981225 |
| | JP 1999-247479 | 19990901 |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | GRANTED | |
| PRIMARY EXAMINER: | Raymond, Richard L. | |
| ASSISTANT EXAMINER: | Patel, Sudhaker B. | |
| LEGAL REPRESENTATIVE: | Wenderoth, Lind & Ponack, L.L.P. | |
| NUMBER OF CLAIMS: | 26 | |
| EXEMPLARY CLAIM: | 1 | |
| NUMBER OF DRAWINGS: | 0 Drawing Figure(s); 0 Drawing Page(s) | |
| LINE COUNT: | 14475 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound of the formula (I): ##STR1##

wherein X is hydroxy, protected hydroxy or optionally substituted amino; Y is --COOR.sup.A where R.sup.A is hydrogen or ester residue, --CONR.sup.BR.sup.C where R.sup.B and R.sup.C each is independently hydrogen or amide residue, optionally substituted aryl or optionally

substituted heteroaryl; and A.sup.1 is optionally substituted heteroaryl; provided that a compound wherein Y and/or A.sup.1 is optionally substituted indol-3-yl is excluded, a tautomer, a prodrug, a pharmaceutically acceptable salt or a hydrate thereof has an inhibitory activity against an integrase.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 8 OF 17 USPATFULL on STN

ACCESSION NUMBER: 2002:175166 USPATFULL
TITLE: Fused thiophene derivatives and drugs containing the same as the active ingredient
INVENTOR(S): Konishi, Mikio, Osaka, JAPAN
Katsume, Nobuo, Osaka, JAPAN
Konno, Mitoshi, Osaka, JAPAN
Kishimoto, Tadamitsu, Osaka, JAPAN
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Osaka, JAPAN (non-U.S. corporation)

| | NUMBER | KIND | DATE | |
|---------------------|----------------|------|-----------------------|-----|
| PATENT INFORMATION: | US 6420391 | B1 | 20020716 | |
| | WO 9951587 | | 19991014 | <-- |
| APPLICATION INFO.: | US 2000-647430 | | 20001002 (9) | |
| | WO 1999-JP1648 | | 19990331 | |
| | | | 20001002 PCT 371 date | |

| | NUMBER | DATE |
|-----------------------|--|----------|
| PRIORITY INFORMATION: | JP 1998-104210 | 19980401 |
| | JP 1999-46887 | 19990119 |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | GRANTED | |
| PRIMARY EXAMINER: | Lambkin, Deborah C. | |
| LEGAL REPRESENTATIVE: | Sughrue Mion, PLLC | |
| NUMBER OF CLAIMS: | 12 | |
| EXEMPLARY CLAIM: | 1 | |
| NUMBER OF DRAWINGS: | 0 Drawing Figure(s); 0 Drawing Page(s) | |
| LINE COUNT: | 11994 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a fused thiophene derivative of the formula (I) (wherein all the symbols are defined as described in the specification) and an inhibitor of producing interleukin-6 and/or interleukin-12 comprising the said derivative as an active ingredient.

A fused thiophene derivative of the formula (I) is useful as an agent for the prevention and/or treatment of various inflammatory diseases, sepsis, multiple myeloma, plasma cell leukemia, osteoporosis, cachexia, psoriasis, nephritis, renal cell carcinoma, Kaposi's sarcoma, rheumatoid arthritis, gammopathy, Castleman's disease, atrial myxoma, diabetes mellitus, autoimmune diseases, hepatitis, multiple sclerosis, colitis, graft versus host immune diseases, infectious diseases. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 9 OF 17 USPATFULL on STN

ACCESSION NUMBER: 2001:82819 USPATFULL
TITLE: Substituted β-amino acid inhibitors of methionine aminopeptidase-2
INVENTOR(S): Craig, Richard A., Racine, WI, United States
Henkin, Jack, Highland Park, IL, United States
Kawai, Megumi, Libertyville, IL, United States
Lynch, Linda M., Pleasant Prairie, WI, United States
Patel, Jyoti, Libertyville, IL, United States
Sheppard, George S., Willmette, IL, United States

PATENT ASSIGNEE(S): Wang, Jieyi, Gurnee, IL, United States
Abbott Laboratories, Abbott Park, IL, United States
(U.S. corporation)

| | NUMBER | KIND | DATE | |
|---------------------|----------------|------|--------------|-----|
| PATENT INFORMATION: | US 6242494 | B1 | 20010605 | <-- |
| APPLICATION INFO.: | US 1999-303807 | | 19990430 (9) | |

| | NUMBER | DATE |
|-----------------------|--|---------------|
| PRIORITY INFORMATION: | US 1998-83877P | 19980501 (60) |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | Granted | |
| PRIMARY EXAMINER: | Aulakh, C. S. | |
| LEGAL REPRESENTATIVE: | Donner, B. Gregory, Steele, Gregory W. | |
| NUMBER OF CLAIMS: | 15 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 5205 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A class of substituted b-amino acids are potent inhibitor of methionine aminopeptidase type 2 (MetAP2) and are thus useful in inhibiting angiogenesis and disease conditions which depend upon angiogenesis for their development such as diabetic retinopathy, tumor growth, and conditions of inflammation. Pharmaceutical compounds containing the compounds and methods of inhibiting methionine aminopeptidase-2, and angiogenesis are also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 10 OF 17 USPATFULL on STN
ACCESSION NUMBER: 2001:22234 USPATFULL
TITLE: Condensed-ring thiophene derivatives, their production and use
INVENTOR(S): Furuya, Shuichi, Tsukuba, Japan
Choh, Nobuo, Tsukuba, Japan
Kato, Koichi, Tsukuba, Japan
Hinuma, Shuji, Tsukuba, Japan
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Osaka, Japan
(non-U.S. corporation)

| | NUMBER | KIND | DATE | |
|-----------------------|--|------|--------------|-----|
| PATENT INFORMATION: | US 6187788 | B1 | 20010213 | <-- |
| APPLICATION INFO.: | US 1998-164349 | | 19981001 (9) | |
| RELATED APPLN. INFO.: | Division of Ser. No. US 454304, now patented, Pat. No.
US 5817819 | | | |

| | NUMBER | DATE |
|-----------------------|-------------------|----------|
| PRIORITY INFORMATION: | JP 1994-80732 | 19940419 |
| | JP 1994-195541 | 19940819 |
| | JP 1994-271010 | 19941104 |
| | JP 1995-20717 | 19950208 |
| | JP 1995-40151 | 19950228 |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | Granted | |
| PRIMARY EXAMINER: | Huang, Evelyn Mei | |
| LEGAL REPRESENTATIVE: | Foley & Lardner | |
| NUMBER OF CLAIMS: | 8 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 5030 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A gonadotropin-releasing hormone antagonistic composition, which comprises an optionally substituted condensed-bicyclic compound

consisting of a homo or hetero 5 to 7 membered ring and a homo or hetero 5 to 7 membered ring is effective as a propylactic or therapeutic agent for the prevention or treatment of several hormone dependent diseases, for example, a sex hormone dependent cancer (e.g. prostatic cancer, cancer of uterine cervix, breast cancer, pituitary adenoma), benign prostatic hypertrophy, myoma of the uterus, endometriosis, precocious puberty, amenorrhéa, premenstrual syndrome, polycystic ovary syndrome and acne vulgaris; is effective as a fertility controlling agent in both sexes (e.g. a pregnancy controlling agent and a menstrual cycle controlling agent); can be used as a contraceptive of male or female, as an ovulation-inducing agent of female; can be used as an infertility treating agent by using a rebound effect owing to a stoppage of administration thereof; is useful as modulating estrous cycles in animals in the field of animal husbandry, as an agent for improving the quality of edible meat or promoting the growth of animals; is useful as an agent of spawning promotion in fish.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 11 OF 17 USPATFULL on STN
 ACCESSION NUMBER: 96:29672 USPATFULL
 TITLE: Metal complexes for hypoxic cells
 INVENTOR(S): Riley, Anthony L., Amersham, United Kingdom
 Kelly, James D., Marlow, United Kingdom
 PATENT ASSIGNEE(S): Amersham International plc, United Kingdom (non-U.S. corporation)

| | NUMBER | KIND | DATE |
|-----------------------|--|------|--------------|
| PATENT INFORMATION: | US 5506345 | | 19960409 <-- |
| APPLICATION INFO.: | US 1994-280108 | | 19940725 (8) |
| RELATED APPLN. INFO.: | Division of Ser. No. US 1992-899312, filed on 16 Jun 1992, now patented, Pat. No. US 5387692 | | |

| | NUMBER | DATE |
|-----------------------|--------------------------|----------|
| PRIORITY INFORMATION: | GB 1991-13487 | 19910621 |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | Granted | |
| PRIMARY EXAMINER: | Higel, Floyd D. | |
| LEGAL REPRESENTATIVE: | Wenderoth, Lind & Ponack | |
| NUMBER OF CLAIMS: | 9 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 490 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Agents for the diagnosis or treatment of hypoxic cells comprise a bioreductive moiety such as 2-nitroimidazole, and a metal chelating moiety which is a bis-amino oxime of which a carbon atom adjacent a nitrogen atom is linked to the bioreductive moiety. A chelated metal atom or ion preferably Technetium-99m. The agent diffuses into cells where the 2-nitroimidazole is reduced thus trapping the chelated metal in the cell.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 12 OF 17 USPATFULL on STN
 ACCESSION NUMBER: 95:11707 USPATFULL
 TITLE: Metal chelating ligands for hypoxic cells
 INVENTOR(S): Riley, Anthony L., Amersham, United Kingdom
 Kelly, James D., Marlow, United Kingdom
 PATENT ASSIGNEE(S): Amersham International plc, United Kingdom (non-U.S. corporation)

| NUMBER | KIND | DATE |
|--------|------|------|
|--------|------|------|

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|---------------------|----------------|----------|-----|
| PATENT INFORMATION: | US 5387692 | 19950207 | <-- |
| APPLICATION INFO.: | US 1992-899312 | 19920616 | (7) |

| | NUMBER | DATE |
|-----------------------|--------------------------|----------|
| PRIORITY INFORMATION: | GB 1991-13487 | 19910621 |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | Granted | |
| PRIMARY EXAMINER: | Higel, Floyd D. | |
| LEGAL REPRESENTATIVE: | Wenderoth, Lind & Ponack | |
| NUMBER OF CLAIMS: | 6 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 469 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Agents for the diagnosis or treatment of hypoxic cells comprise a bioreductive moiety such as 2-nitroimidazole, and a metal chelating moiety which is a bis-amine oxime of which a carbon atom adjacent a nitrogen atom is linked to the bioreductive moiety. A chelated metal atom or ion preferably Technetium-99m. The agent diffuses into cells where the 2-nitroimidazole is reduced thus trapping the chelated metal in the cell.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

| | |
|---------------------|--|
| L6 ANSWER 13 OF 17 | USPATFULL on STN |
| ACCESSION NUMBER: | 82:16234 USPATFULL |
| TITLE: | Substituted 2,3-alkylene di (oxy) benzamides and derivatives |
| INVENTOR(S): | Thominet, Michel, Paris, France
Bultheau, Gerard, Paris, France
Acher, Jacques, Itteville, France |
| PATENT ASSIGNEE(S): | Collignon, Claude, Saint Remy les Chevreuse, France
Societe d'Etudes Scientifiques et Industrielles de L'ile-de-France, Paris, France (non-U.S. government) |

| | NUMBER | KIND | DATE | |
|-----------------------|--|------|----------|-----|
| PATENT INFORMATION: | US 4323503 | | 19820406 | <-- |
| APPLICATION INFO.: | US 1979-47968 | | 19790612 | (6) |
| RELATED APPLN. INFO.: | Division of Ser. No. US 1977-821123, filed on 2 Aug 1977, now patented, Pat. No. US 4186135, issued on 29 Jan 1980 | | | |

| | NUMBER | DATE |
|-----------------------|----------------------|----------|
| PRIORITY INFORMATION: | FR 1976-23835 | 19760804 |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | Granted | |
| PRIMARY EXAMINER: | Daus, Donald G. | |
| ASSISTANT EXAMINER: | Turnipseed, James H. | |
| LEGAL REPRESENTATIVE: | Smith, Jr., John C. | |
| NUMBER OF CLAIMS: | 5 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 2070 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel substituted 2,3-alkylene bis (oxy) benzamides and derivatives thereof are disclosed. Also disclosed is a method for producing said compounds. The compounds have anxiolytic, psychostimulant, disinhibiting and thymoanaleptic properties useful therapeutically in the psychofunctional field, particularly in gastro-enterology, cardiology, urology, rheumatology and gynaecology.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 14 OF 17 USPATFULL on STN
ACCESSION NUMBER: 81:68379 USPATFULL
TITLE: Substituted 2,3-alkylene bis (oxy)-4,5 (or 5,6) azimido benzamides and derivatives thereof
INVENTOR(S): Thominet, Michel, Paris, France
Bulteau, Gerard, Paris, France
Acher, Jacques, Itteville, France
Collignon, Claude, Saint Remy les Chevreuse, France
PATENT ASSIGNEE(S): Societe d'Etudes Scientifiques et Industrielles de l'Ile, Paris, France (non-U.S. corporation)

| | NUMBER | KIND | DATE |
|-----------------------|---|------|--------------|
| PATENT INFORMATION: | US 4306072 | | 19811215 <-- |
| APPLICATION INFO.: | US 1979-60953 | | 19790726 (6) |
| RELATED APPLN. INFO.: | Division of Ser. No. US 1977-821123, filed on 2 Aug 1977, now patented, Pat. No. US 4186135 | | |

| | NUMBER | DATE |
|-----------------------|------------------------|----------|
| PRIORITY INFORMATION: | FR 1976-23835 | 19760804 |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | Granted | |
| PRIMARY EXAMINER: | Coughlan, Jr., Paul M. | |
| LEGAL REPRESENTATIVE: | Smith, Jr., John C. | |
| NUMBER OF CLAIMS: | 3 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 2097 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel substituted 2,3-alkylene bis (oxy) benzamide azimido compounds and derivatives thereof are disclosed as for example N-(1-allyl-2-pyrrolidylmethyl)-7,8-azimido-1,4-benzodioxane-5-carboxamide and N-(1-allyl-2-pyrrolidylmethyl)-6,7-azimido-1,4-benzodioxane-5-carboxamide. The compounds have anxiolytic, psychostimulant, disinhibiting and thymoanaleptic properties useful therapeutically in the psychofunctional field, particularly in gastro-enterology, cardiology, urology, rheumatology and gynaecology.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 15 OF 17 USPATFULL on STN
ACCESSION NUMBER: 81:27533 USPATFULL
TITLE: Substituted 2,3-alkylene bis (oxy) benzamides and derivatives and method of preparation
INVENTOR(S): Thominet, Michel, Paris, France
Bulteau, Gerard, Paris, France
Acher, Jacques, Itteville, France
Collignon, Claude, Saint Remy les Chevreuse, France
PATENT ASSIGNEE(S): Societe d'Etudes Scientifiques et Industrielles de l'Ile-de-France, Paris, France (non-U.S. corporation)

| | NUMBER | KIND | DATE |
|-----------------------|--|------|--------------|
| PATENT INFORMATION: | US 4268512 | | 19810519 <-- |
| APPLICATION INFO.: | US 1979-14680 | | 19790223 (6) |
| RELATED APPLN. INFO.: | Division of Ser. No. US 1977-821123, filed on 2 Aug 1977, now patented, Pat. No. US 4186135, issued on 29 Jan 1980 | | |

| | NUMBER | DATE |
|-----------------------|---------------|----------|
| PRIORITY INFORMATION: | FR 1976-23835 | 19760804 |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | Granted | |
| PRIMARY EXAMINER: | Lee, Mary C. | |

LEGAL REPRESENTATIVE: Smith, Jr., John C.

NUMBER OF CLAIMS: 36

EXEMPLARY CLAIM: 1,19

LINE COUNT: 2071

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel substituted 2,3-alkylene bis (oxy) benzamides and derivatives thereof are disclosed. Also disclosed is a method for producing said compounds. The compounds have anxiolytic, psychostimulant, disinhibiting and thymoanaleptic properties useful therapeutically in the psychofunctional field, particularly in gastro-enterology, cardiology, urology, rheumatology and gynaecology.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 16 OF 17 USPATFULL on STN

ACCESSION NUMBER: 81:6614 USPATFULL

TITLE: Substituted 2,3-alkylene bis(oxy) benzamides and derivatives to treat psychofunctional disorders

INVENTOR(S): Thominet, Michel, Paris, France

Bulteau, Gerard, Paris, France

Acher, Jacques, Itteville, France

Collignon, Claude, Saint Remy Les Chevreuse, France

PATENT ASSIGNEE(S): Societe d'Etudes Scientifiques et Industrielles de l'Ile-de-France, Paris, France (non-U.S. corporation)

| NUMBER | KIND | DATE |
|--------|------|------|
|--------|------|------|

PATENT INFORMATION: US 4248885 19810203 <--

APPLICATION INFO.: US 1979-14678 19790223 (6)

RELATED APPLN. INFO.: Division of Ser. No. US 1977-821123, filed on 2 Aug 1977, now Defensive Publication No.

| NUMBER | DATE |
|--------|------|
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PRIORITY INFORMATION: FR 1976-23835 19760804

DOCUMENT TYPE: Utility

FILE SEGMENT: Granted

PRIMARY EXAMINER: Friedman, Stanley J.

LEGAL REPRESENTATIVE: Smith, Jr., John C.

NUMBER OF CLAIMS: 39

EXEMPLARY CLAIM: 1

LINE COUNT: 2082

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel substituted 2,3-alkylene bis (oxy) benzamides and derivatives thereof are disclosed. Also disclosed is a method for producing said compounds. The compounds have anxiolytic, psychostimulant, disinhibiting and thymoanaleptic properties useful therapeutically in the psychofunctional field, particularly in gastro-enterology, cardiology, urology, rheumatology and gynaecology.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 17 OF 17 USPATFULL on STN

ACCESSION NUMBER: 80:5598 USPATFULL

TITLE: Substituted 2,3-alkylene bis (oxy) benzamides and derivatives and method of preparation

INVENTOR(S): Thominet, Michel, Paris, France

Bulteau, Gerard, Paris, France

Acher, Jacques, Itteville, France

Collignon, Claude, Saint Remy les Chevreuse, France

PATENT ASSIGNEE(S): Societe D'Etudes Scientifiques et Industrielles de L'ile-de-France, Paris, France (non-U.S. corporation)

| NUMBER | KIND | DATE |
|--------|------|------|
|--------|------|------|

PATENT INFORMATION: US 4186135 19800129 <--
APPLICATION INFO.: US 1977-821123 19770802 (5)

| | NUMBER | DATE |
|-----------------------|---------------------|----------|
| PRIORITY INFORMATION: | FR 1976-23835 | 19760804 |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | Granted | |
| PRIMARY EXAMINER: | Hollrah, Glennon H. | |
| ASSISTANT EXAMINER: | Lee, Mary | |
| LEGAL REPRESENTATIVE: | Smith, Jr., John C. | |
| NUMBER OF CLAIMS: | 36 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 2157 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel substituted 2,3-alkylene bis (oxy) benzamides and derivatives thereof are disclosed. Also disclosed is a method for producing said compounds. The compounds have anxiolytic, psychostimulant, disinhibiting and thymoanaleptic properties useful therapeutically in the psychofunctional field, particularly in gastro-enterology, cardiology, urology, rheumatology and gynaecology.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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(FILE 'HOME' ENTERED AT 16:27:55 ON 11 SEP 2007)

FILE 'REGISTRY' ENTERED AT 16:28:04 ON 11 SEP 2007
E "1,3,3-TRINITROAZETIDINE"/CN 25

L1 1 S E3

FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 16:29:12 ON 11 SEP 2007

L2 261 S L1
L3 2 S L2 AND (?CANCER? OR ?TUMOR?)
L4 244 S "X-NITRO"
L5 44 S L4 AND (?CANCER? OR ?TUMOR?)
L6 17 S L5 AND PY<2002

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--Logging off of STN---

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Executing the logoff script...

=> LOG Y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| FULL ESTIMATED COST | 81.34 | 89.35 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -2.34 | -2.34 |

STN INTERNATIONAL LOGOFF AT 16:34:16 ON 11 SEP 2007